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*For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.*

(54) Title: UREA DERIVATIVES AS INHIBITORS OF CCR-3 RECEPTOR

(57) Abstract: Urea and amide derivatives inhibit cell function of the chemokine receptor CCR-3. These compounds offer an effective means for treating a range of diseases thought to be mediated by the CCR-3 receptor. A variety of useful urea and amide derivatives can be synthesized using liquid and solid-phase synthesis protocols.

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## FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Continuation of Box I.2

Claims Nos.: 1-48 (all in part)

Present claims 1 to 48 relate to an extremely large number of possible compound. Support within the meaning of Article 6 PCT and/or disclosure within the meaning of Article 5 PCT is to be found, however, for only a very small proportion of the compounds claimed. In the present case, the claims so lack support, and the application so lacks disclosure, that a meaningful search over the whole of the claimed scope is impossible. Consequently, the search has been carried out for those parts of the claims which appear to be supported and disclosed, namely those parts relating to the compounds prepared in the examples.

The scope of the claims 25 and 43, in as far as the expression prodrug is concerned, is so unclear (Article 6 PCT) that a meaningful International Search is impossible with regard to this expression.

The applicant's attention is drawn to the fact that claims, or parts of claims, relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure.

# INTERNATIONAL SEARCH REPORT

onal application No.  
PCT/US 02/02280

## Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.:  
because they relate to subject matter not required to be searched by this Authority, namely:  
Although claims 20-25, 27-30, 38-43 and 45-48 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.
2. ☒ Claims Nos.: 1-48 (all in part)  
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:  
see FURTHER INFORMATION sheet PCT/ISA/210
3. ☐ Claims Nos.:  
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

## Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

## INTERNATIONAL SEARCH REPORT

 Ir Application No  
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## A. CLASSIFICATION OF SUBJECT MATTER

 IPC 7 C07C275/24 C07C233/40 C07C235/34 C07C235/78 C07C323/62  
 C07D317/62 A61K31/17 A61K31/165 A61P37/00

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07C

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, BEILSTEIN Data, CHEM ABS Data

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
P, X	WO 01 09088 A (KIRIN BEER) 8 February 2002 (2002-02-08) claims; examples	1-7, 19-30
A	EP 0 903 349 A (F. HOFFMANN-LA ROCHE) 24 March 1999 (1999-03-24)  claims; examples	1, 8, 16, 19, 20, 26, 27, 30, 31, 37, 38, 44, 45, 48



Further documents are listed in the continuation of box C.



Patent family members are listed in annex.

## \* Special categories of cited documents:

\*A\* document defining the general state of the art which is not considered to be of particular relevance

\*E\* earlier document but published on or after the international filing date

\*L\* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

\*O\* document referring to an oral disclosure, use, exhibition or other means

\*P\* document published prior to the international filing date but later than the priority date claimed

\*T\* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

\*X\* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

\*Y\* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

\*&amp;\* document member of the same patent family

Date of the actual completion of the international search

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## INTERNATIONAL SEARCH REPORT

In: Application No  
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## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	WO 00 53172 A (SMITHKLINE BEECHAM) 14 September 2000 (2000-09-14)  claims; examples ----	1,8,16, 19,20, 26,27, 30,31, 37,38, 44,45,48
A	WO 00 41685 A (SMITHKLINE BEECHAM) 20 July 2000 (2000-07-20)  claims; examples -----	1,8,16, 19,20, 26,27, 30,31, 37,38, 44,45,48

## INTERNATIONAL SEARCH REPORT

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Patent document cited in search report		Publication date		Patent family member(s)	Publication date
WO 0109088	A	08-02-2001	AU	6339200 A	19-02-2001
			EP	1200395 A1	02-05-2002
			WO	0109088 A1	08-02-2001
EP 903349	A	24-03-1999	AU	744059 B2	14-02-2002
			AU	8080098 A	25-02-1999
			BR	9803179 A	28-03-2000
			CA	2245043 A1	18-02-1999
			CN	1211572 A	24-03-1999
			CZ	9802566 A3	17-03-1999
			DE	19837386 A1	25-02-1999
			EP	0903349 A2	24-03-1999
			ES	2154167 A1	16-03-2001
			FR	2767826 A1	05-03-1999
			GB	2330580 A	28-04-1999
			HR	980450 A1	30-06-1999
			HU	9801887 A2	28-06-1999
			IT	MI981902 A1	18-02-2000
			JP	3014367 B2	28-02-2000
			JP	11147872 A	02-06-1999
			NO	983749 A	19-02-1999
			NZ	331319 A	27-03-2000
			PL	328049 A1	01-03-1999
			SG	70110 A1	25-01-2000
			TR	9801594 A2	22-03-1999
			US	6323223 B1	27-11-2001
			US	6339087 B1	15-01-2002
			ZA	9807448 A	22-01-1999
WO 0053172	A	14-09-2000	WO	0053172 A1	14-09-2000
WO 0041685	A	20-07-2000	WO	0041685 A1	20-07-2000

